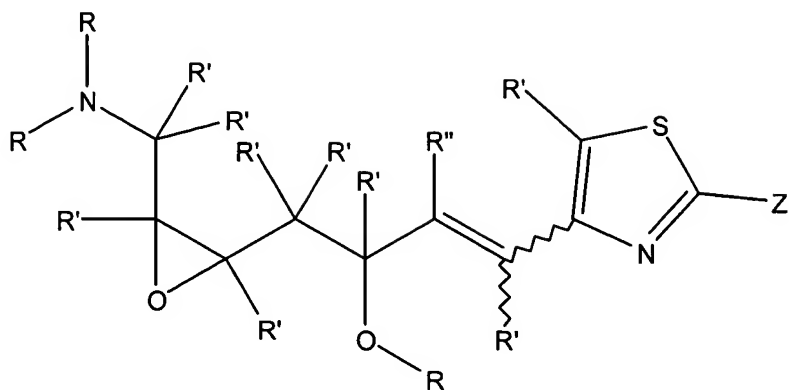


We claim:

1. A compound represented by A:



A

wherein

Z represents H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, cyano, halogen, hydroxyl, alkoxyl, aryloxy, arylalkyloxy, amino, alkylamino, arylamino, arylakylamino, sulfhydryl, alkylthio, arylthio, arylakylthio, nitro, azido, alkylseleno, formyl, acyl, carboxyl, silyl, silyloxy, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, alkylsulfonyl, arylsulfonyl, or $-(CH_2)_m-R_{80}$;

R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, trialkylsilyl, alkyldiarylsilyl, dialkylarylsilyl, triarylsilyl, formyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, cyano, halogen, hydroxyl, alkoxyl, aryloxy, arylalkyloxy, amino, alkylamino, arylamino, arylakylamino, sulfhydryl, alkylthio, arylthio, arylakylthio, nitro, azido, alkylseleno, formyl, acyl, carboxyl, silyl, silyloxy, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, alkylsulfonyl, arylsulfonyl, or $-(CH_2)_m-R_{80}$;

R'' represents alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, formyl, acyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R₈₀ represents independently for each occurrence an aryl, cycloalkyl, cycloalkenyl, heterocyclyl, or polycyclyl moiety;

m is independently for each occurrence an integer in the range 0 to 8 inclusive;

the geometric configuration at an alkenyl moiety in a compound represented by A is E, Z, or a mixture thereof; and

the stereochemical configuration at a stereocenter in a compound represented by A is R, S, or a mixture thereof.

2. The compound of claim 1, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$.

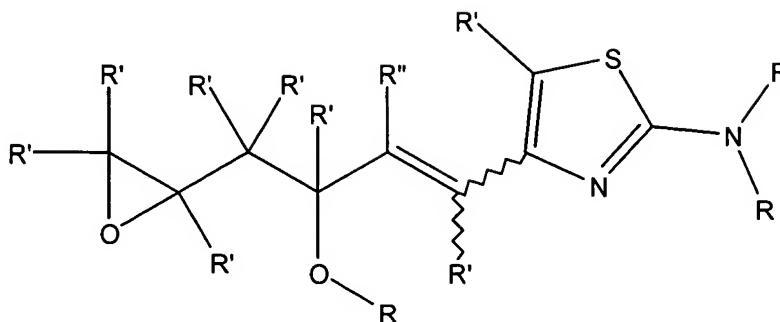
3. The compound of claim 1, wherein R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

4. The compound of claim 1, wherein R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

5. The compound of claim 1, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; and R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

6. The compound of claim 1, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl; and R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

7. A compound represented by **B**:



B

wherein

R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, trialkylsilyl, alkyldiarylsilyl, dialkylarylsilyl, triarylsilyl, formyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, cyano, halogen, hydroxyl, alkoxyl, aryloxy, arylalkyloxy, amino, alkylamino, arylamino, arylalkylamino, sulfhydryl, alkylthio, arylthio, arylalkylthio, nitro, azido, alkylseleno, formyl, acyl, carboxyl, silyl, silyloxy, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, alkylsulfonyl, arylsulfonyl, or $-(CH_2)_m-R_{80}$;

R'' represents alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, formyl, acyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R_{80} represents independently for each occurrence an aryl, cycloalkyl, cycloalkenyl, heterocyclyl, or polycyclyl moiety;

m is independently for each occurrence an integer in the range 0 to 8 inclusive;

the geometric configuration at an alkenyl moiety in a compound represented by **B** is *E*, *Z*, or a mixture thereof; and

the stereochemical configuration at a stereocenter in a compound represented by **B** is *R*, *S*, or a mixture thereof.

8. The compound of claim 7, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$.

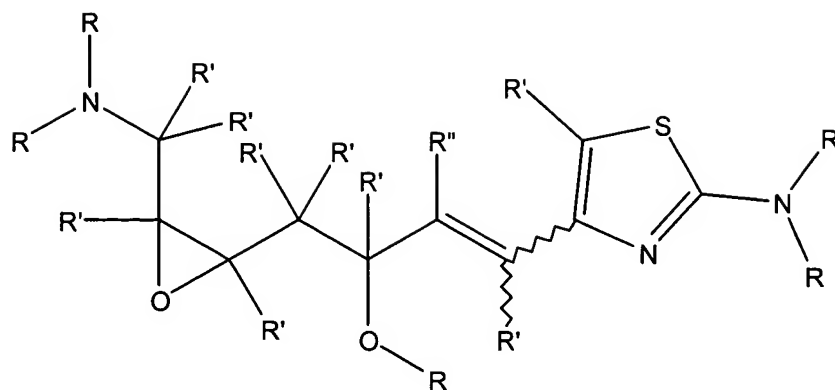
9. The compound of claim 7, wherein R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

10. The compound of claim 7, wherein R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

11. The compound of claim 7, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; and R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

12. The compound of claim 7, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl; and R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

13. A compound represented by **C**:



C

wherein

R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, trialkylsilyl, alkyldiarylsilyl, dialkylarylsilyl, triarylsilyl, formyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, cyano, halogen, hydroxyl, alkoxyl, aryloxy, arylalkyloxy, amino, alkylamino, arylamino, arylalkylamino, sulfhydryl, alkylthio, arylthio, arylalkylthio, nitro, azido, alkylseleno, formyl, acyl, carboxyl, silyl, silyloxy, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, alkylsulfonyl, arylsulfonyl, or $-(CH_2)_m-R_{80}$;

R'' represents alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, formyl, acyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R_{80} represents independently for each occurrence an aryl, cycloalkyl, cycloalkenyl, heterocyclyl, or polycyclyl moiety;

m is independently for each occurrence an integer in the range 0 to 8 inclusive;

the geometric configuration at an alkenyl moiety in a compound represented by **C** is *E*, *Z*, or a mixture thereof; and

the stereochemical configuration at a stereocenter in a compound represented by **C** is *R*, *S*, or a mixture thereof.

14. The compound of claim 13, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$.

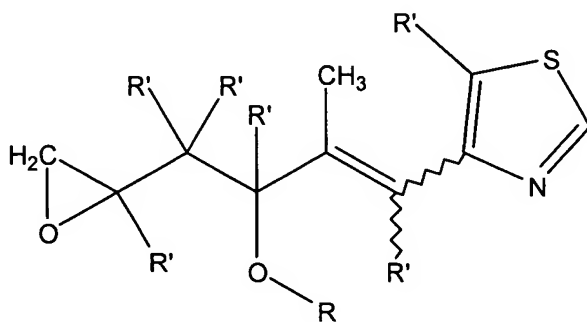
15. The compound of claim 13, wherein R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

16. The compound of claim 13, wherein R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

17. The compound of claim 13, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; and R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

18. The compound of claim 13, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl; and R'' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

19. A compound represented by **D**:



D

wherein

R represents H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, trialkylsilyl, alkyl diarylsilyl, dialkylarylsilyl, triarylsilyl, formyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, or $-(CH_2)_m-R_{80}$;

R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, cyano, halogen, hydroxyl, alkoxyl, aryloxy, arylalkyloxy, amino, alkylamino, arylamino, arylalkylamino, sulfhydryl, alkylthio, arylthio, arylalkylthio, nitro, azido, alkylseleno, formyl, acyl, carboxyl, silyl, silyloxy, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, (alkylamino)carbonyl, (arylamino)carbonyl, (arylalkylamino)carbonyl, alkylsulfonyl, arylsulfonyl, or $-(CH_2)_m-R_{80}$;

R_{80} represents independently for each occurrence an aryl, cycloalkyl, cycloalkenyl, heterocyclyl, or polycyclyl moiety;

m is independently for each occurrence an integer in the range 0 to 8 inclusive;

the geometric configuration at an alkenyl moiety in a compound represented by **D** is *E*, *Z*, or a mixture thereof; and

the stereochemical configuration at a stereocenter in a compound represented by **D** is *R*, *S*, or a mixture thereof.

20. The compound of claim 19, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$.

21. The compound of claim 19, wherein R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

22. The compound of claim 19, wherein R represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, arylalkyl, acyl, alkylsulfonyl, arylsulfonyl, (alkyloxy)carbonyl, (aryloxy)carbonyl, (arylalkyloxy)carbonyl, or $-(CH_2)_m-R_{80}$; and R' represents independently for each occurrence H, alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or arylalkyl.

23. The compound of claim 1, 7, 13, or 19, wherein said compound has an IC₅₀ less than 1 μ M in an assay based on a mammalian GPCR or protein kinase.
24. The compound of claim 1, 7, 13, or 19, wherein said compound has an IC₅₀ less than 100 nM in an assay based on a mammalian GPCR or protein kinase.
25. The compound of claim 1, 7, 13, or 19, wherein said compound has an IC₅₀ less than 10 nM in an assay based on a mammalian GPCR or protein kinase.
26. The compound of claim 1, 7, 13, or 19, wherein said compound has an EC₅₀ less than 1 μ M in an assay based on a mammalian GPCR or protein kinase.
27. The compound of claim 1, 7, 13, or 19, wherein said compound has an EC₅₀ less than 100 nM in an assay based on a mammalian GPCR or protein kinase.
28. The compound of claim 1, 7, 13, or 19, wherein said compound has an EC₅₀ less than 10 nM in an assay based on a mammalian GPCR or protein kinase.
29. The compound of claim 1, 7, 13, or 19, wherein said compound is a single stereoisomer.
30. A formulation, comprising a compound of claim 1, 7, 13, or 19; and a pharmaceutically acceptable excipient.
31. A method of modulating the activity of a GPCR or protein kinase in a mammal, comprising the step of:
- administering to said mammal a therapeutically effective amount of a compound of claim 1, 7, 13, or 19.
32. The method of claim 31, wherein said mammal is a primate, equine, canine or feline.
33. The method of claim 31, wherein said mammal is a human.
34. The method of claim 31, wherein said compound is administered orally.
35. The method of claim 31, wherein said compound is administered intravenously.
36. The method of claim 31, wherein said compound is administered sublingually.
37. The method of claim 31, wherein said compound is administered ocularly.
38. The method of claim 31, wherein said compound is administered transdermally.

- 39. The method of claim 31, wherein said compound is administered rectally.
- 40. The method of claim 31, wherein said compound is administered vaginally.
- 41. The method of claim 31, wherein said compound is administered topically.
- 42. The method of claim 31, wherein said compound is administered intramuscularly.
- 43. The method of claim 31, wherein said compound is administered subcutaneously.
- 44. The method of claim 31, wherein said compound is administered buccally.
- 45. The method of claim 31, wherein said compound is administered nasally.
- 46. A method of treating a mammal suffering from addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, asthma, cancer, cell proliferative disorders, fibrotic disorders, metabolic disorders, or diabetes, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 1, 7, 13, or 19.

- 47. The method of claim 46, wherein said mammal is a primate, equine, canine or feline.
- 48. The method of claim 46, wherein said mammal is a human.
- 49. The method of claim 46, wherein said compound is administered orally.
- 50. The method of claim 46, wherein said compound is administered intravenously.
- 51. The method of claim 46, wherein said compound is administered sublingually.
- 52. The method of claim 46, wherein said compound is administered ocularly.
- 53. The method of claim 46, wherein said compound is administered transdermally.
- 54. The method of claim 46, wherein said compound is administered rectally.
- 55. The method of claim 46, wherein said compound is administered vaginally.

56. The method of claim 46, wherein said compound is administered topically.
57. The method of claim 46, wherein said compound is administered intramuscularly.
58. The method of claim 46, wherein said compound is administered subcutaneously.
59. The method of claim 46, wherein said compound is administered buccally.
60. The method of claim 46, wherein said compound is administered nasally.